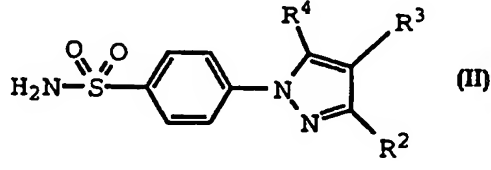


(32)

PCT

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International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶: C07D 231/12, A61K 31/415, C07D 231/14, 231/16, 231/18, 231/54, 401/04, 403/04, 405/04, 409/04, 495/04	A1	(11) International Publication Number: WO 95/15316 (43) International Publication Date: 8 June 1995 (08.06.95)
(21) International Application Number: PCT/US94/12720 (22) International Filing Date: 14 November 1994 (14.11.94) (30) Priority Data: 08/160,594 30 November 1993 (30.11.93) US 08/223,629 6 April 1994 (06.04.94) US (60) Parent Applications or Grants (63) Related by Continuation US 08/160,594 (CIP) Filed on 30 November 1993 (30.11.93) US 08/223,629 (CIP) Filed on 4 June 1994 (04.06.94) (71) Applicant (for all designated States except US): G. D. SEARLE & CO. [US/US]; P.O. Box 5110, Chicago, IL 60680-5110 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): TALLEY, John, J. [US/US]; 8772 Pine Avenue, Brentwood, MO 63144 (US). PENNING, Thomas, D. [US/US]; 374 Larch, Elmhurst, IL 60126 (US). COLLINS, Paul, W. [US/US]; 1557 Hawthorne	Place, Deerfield, IL 60015 (US). ROGIER, Donald, J., Jr. [US/US]; 1828 Westmeade Drive, Chesterfield, MO 63017 (US). MALECHA, James, W. [US/US]; 1121 Tracy Lane, Libertyville, IL 60048 (US). MIYASHIRO, Julie, M. [US/US]; Apartment 1E, 1260 West Columbia, Chicago, IL 60026 (US). BERTENSHAW, Stephen, R. [US/US]; 8758 Pine Avenue, Brentwood, MO 63144 (US). KHANNA, Ish, K. [US/US]; 149 Brandywine Court, Vernon Hills, IL 60061 (US). GRANETS, Mathew, J. [US/US]; 1510 Voltaire Drive, St. Louis, MO 63146 (US). ROGERS, Roland, S. [US/US]; 7431 Arlington Drive, Richmond Heights, MO 63117 (US). CARTER, Jeffery, S. [US/US]; 15321 Grantley Drive, Chesterfield, MO 63017 (US). DOCTER, Stephen, H. [US/US]; 320 Marcella Road, Mt. Prospect, IL 60056 (US). YU, Stella, S. [US/US]; 7801 Maple Street, Morton Grove, IL 60053 (US). (74) Agents: BULOCK, Joseph, W. et al.; G. D. Searle & Co., Corporate Patent Dept., P.O. Box 5110, Chicago, IL 60680-5110 (US). (81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ). Published <i>With international search report.</i>	
(54) Title: SUBSTITUTED PYRAZOLYL BENZENESULFONAMIDES FOR THE TREATMENT OF INFLAMMATION		
(57) Abstract		
<p>A class of pyrazolyl benzenesulfonamide compounds is described for use in treating inflammation and inflammation-related disorders. Compounds of particular interest are defined by formula (II), wherein R² is selected from hydrido, alkyl, haloalkyl, alkoxyalkyl, cyano, cyanoalkyl, carboxyl, aminocarbonyl, alkylaminocarbonyl, cycloalkylaminocarbonyl, arylaminocarbonyl, carboxyalkylaminocarbonyl, carboxyalkyl, aralkoxyalkylaminocarbonyl, aminocarbonylalkyl, alkoxyalkylaminocarbonyl and hydroxyalkyl; wherein R³ is selected from hydrido, alkyl, cyano, hydroxyalkyl, cycloalkyl, alkylsulfonyl and halo; and wherein R⁴ is selected from aralkenyl, aryl, cycloalkyl, cycloalkenyl and heterocyclic; wherein R⁴ is optionally substituted at a substitutable position with one or more radicals selected from halo, alkylthio, alkylsulfonyl, cyano, nitro, haloalkyl, alkyl, hydroxyl, alkenyl, hydroxyalkyl, carboxyl, cycloalkyl, alkylamino, dialkylamino, alkoxyalkyl, aminocarbonyl, alkoxy, haloalkoxy, sulfamyl, heterocyclic and amino; provided R² and R³ are not both hydrido; further provided that R² is not carboxyl or methyl when R³ is hydrido and when R⁴ is phenyl; further provided that R⁴ is not triazolyl when R² is methyl; further provided that R⁴ is not aralkenyl when R² is carboxyl, aminocarbonyl or ethoxycarbonyl; further provided that R⁴ is not phenyl when R² is methyl and R³ is carboxyl; and further provided that R⁴ is not unsubstituted thienyl when R² is trifluoromethyl; or a pharmaceutically acceptable salt thereof.</p>	 <div style="text-align: right;">(II)</div>	